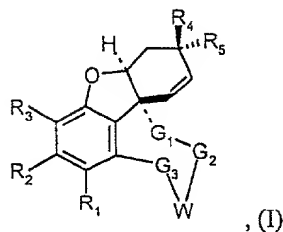


## Claims:

1. New compounds of general formula I



in which the substituents have the meanings that are explained below:

R<sub>1</sub> and R<sub>2</sub> are the same or different and mean:

a) hydrogen, F, Cl, Br, I, CN, NC, OH, SH, NO<sub>2</sub>, SO<sub>3</sub>H, PO<sub>3</sub>H, NH<sub>2</sub>, CF<sub>3</sub>, OSO<sub>2</sub>(CH<sub>2</sub>)<sub>n</sub>CF<sub>3</sub>, in which n is equal to 0, 1 or 2, -OSO<sub>2</sub>-aryl, -OSO<sub>2</sub>-vinyl or -OSO<sub>2</sub>-ethinyl;

b) a low (C<sub>1</sub>-C<sub>6</sub>), optionally branched, optionally substituted (Ar)alkyl, (Ar)alkoxy, cycloalkyl or cycloalkoxy group;

c) an amino group, which optionally is substituted by one or two identical or different low (C<sub>1</sub>-C<sub>6</sub>), optionally branched, optionally substituted (Ar)alkyl or (Ar)alkylcarbonyl or (Ar)alkoxycarbonyl groups or by a group that is selected from an optionally substituted pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, or homopiperazine radical;

d) a -COOH, -COO(Ar)alkyl, -CO-amino group, which optionally is substituted as indicated under c), or a COH(Ar)alkyl group;

e) a  $-(CH_2)_nX$  (in which  $X = Br, Cl, F$  or  $I$ ),  $-(CH_2)_nOH$ ,  
 $-(CH_2)_nCHO$ ,  $-(CH_2)_nCOOH$ ,  $-(CH_2)_nCN$ ,  $-(CH_2)_nNC$ ,  $-(CH_2)_nCOalkyl$ , or  
 $-(CH_2)_nCOaryl$  group, in which  $n$  is 1-4;

f) a  $-(CH_2)_n$  vinyl,  $-(CH_2)_n$  ethinyl, or  $-(CH_2)_n$  cycloalkyl group  
 5 in which  $n$  is 0, 1 or 2, whereby cycloalkyl is an aliphatic ring  
 with 3 to 7 C atoms;

g) a  $C_3$ - $C_6$ -substituted alkenyl group (optionally  
 substituted with H, F, Br, Cl, CN,  $CO_2alkyl$ ,  $COalkyl$ ,  $COaryl$ );

h) a  $C_3$ - $C_6$ -substituted alkynyl group (optionally  
 10 substituted with H, F, Br, Cl, CN,  $CO_2alkyl$ ,  $COalkyl$ ,  $COaryl$ ); or

i)  $R^1$  and  $R^2$  together mean  $-CH=CH-CH=CH-$ ,  $-O(CH_2)_nO-$  ( $n = 1$   
 to 3),  $-CH=CH-A_1-$  ( $A_1$  is NH, O or S), or  $-CH_2CH_2-A_1$  ( $A_1$  is NH, O or  
 S);

$R_3$  has the same meaning as  $R_1$ , especially OH and  $OCH_3$ , or

15  $R_2$  and  $R_3$  together mean  $-A_2(CH_2)_nA_2-$ , in which  $n$  is 1 to 3 and  
 substituents  $A_2$  are the same or different and mean NH, O or S;

$R_4$  and  $R_5$  are either

a) both hydrogen,

or

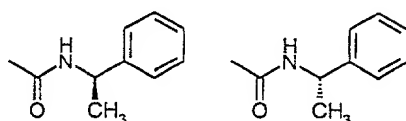
20 b) one of  $R_4$  and  $R_5$  is hydrogen, an (Ar)alkyl, (Ar)alkenyl  
 or (Ar)alkynyl group, and the other of  $R_4$  and  $R_5$  is

i)  $OR_6$ , in which  $R_6$  means hydrogen, a low ( $C_1$ - $C_{10}$ ,  
 optionally branched or substituted) alkyl group or  
 cycloalkyl group, a  $C_3$ - $C_{10}$  substituted silyl group (for  
 25 example, triethylsilyl, trimethylsilyl, t-butyldimethylsilyl  
 or dimethylphenylsilyl), a  $C_2$ - $C_{10}$  alpha-alkoxyalkyl group,  
 for example tetrahydropyranyl, tetrahydrofuranyl,

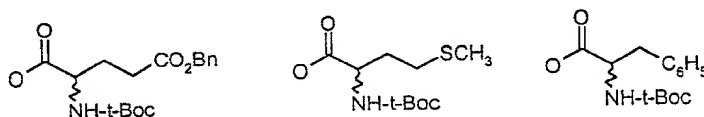
methoxymethyl, ethoxymethyl, 2-methoxypropyl, ethoxyethyl, phenoxyethyl or 1-phenoxyethyl;

ii)  $O-CS-NHR_6$  (thiourethane), in which  $R_6$  has the meanings indicated above under i);

iii)  $O-CO-NHR_7$  with the meaning below:



iv)  $O-CO-HR_6$ , in which  $R_6$  has the meanings indicated above under i), especially ester with the substitution pattern of amino acids (both enantiomers), such as



v)  $NR_7R_7$ , in which two substituents  $R_7$  are the same or different and mean hydrogen, a low ( $C_1-C_4$ ), optionally branched, alkyl group or cycloalkyl group, or substituents  $R_7$  together are  $-(CH_2)_n-$ , in which  $n$  is 3 to 5;

vi)  $NH-COR_6$  (amide), in which  $R_6$  has the meanings indicated above under i);

vii)  $S-R_6$ , in which  $R_6$  has the meaning indicated above under i);

viii)  $\text{SO}_n\text{R}_8$ , in which  $n$  is 0, 1 or 2, and in which  $\text{R}_8$  is a  $(\text{C}_1\text{-C}_{10})$ , optionally branched or cyclic, optionally substituted (Ar)alkyl group;

$\underline{\text{G}}_1$ :  $-(\text{CH}_2)_x-$ , in which  $x$  is 1 or 2;

5  $\underline{\text{G}}_2$ :  $-(\text{CH}_2)_y-$ , in which  $y$  is 0 to 2;

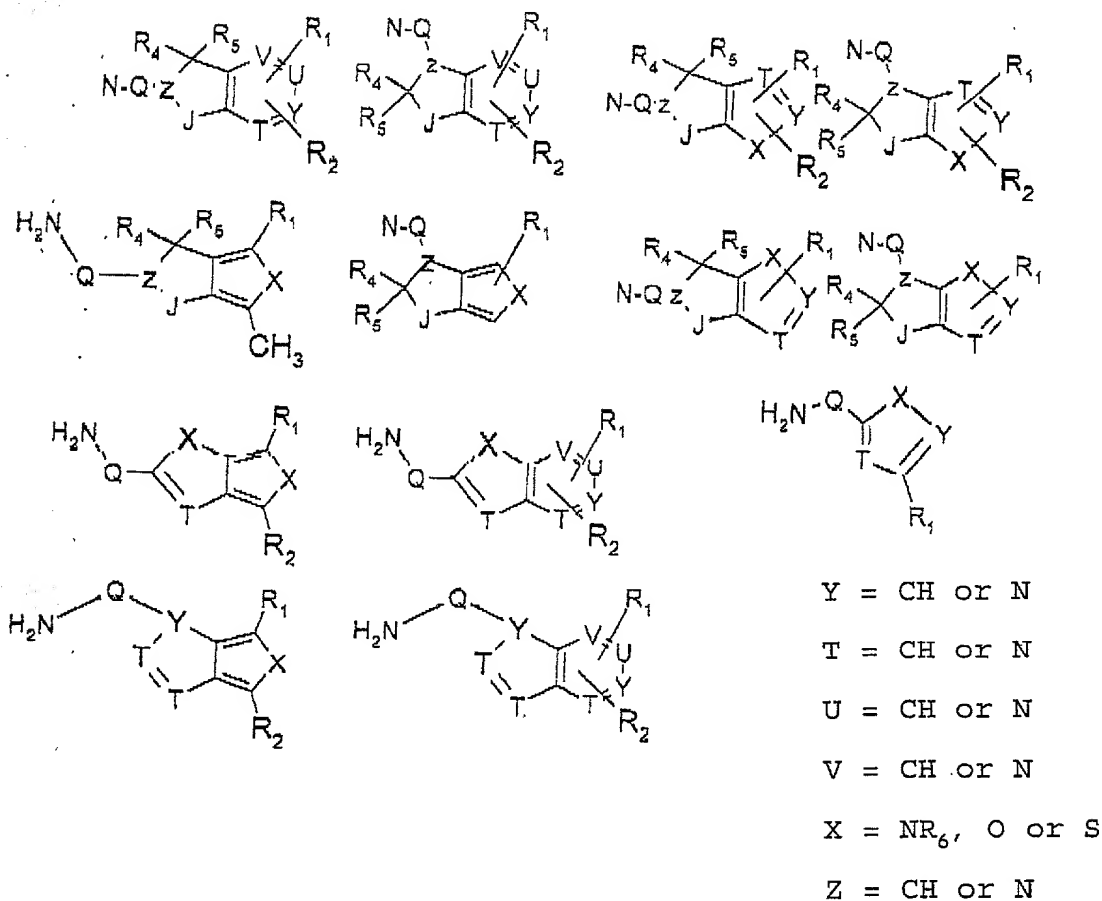
$\underline{\text{G}}_3$ :  $-(\text{CH}_2)_z-$ , in which  $z$  is 0 to 3, provided that the sum of  $x+y+z$  is at least 2 and at most 4, or in which  $\underline{\text{G}}_3$  is carbonyl or thiocarbonyl,  $-\text{CH}(\text{OH})-$  or  $-\text{C}(\text{OH})=$ ;

W is:

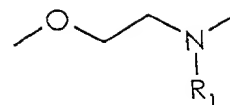
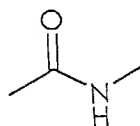
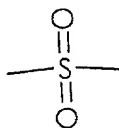
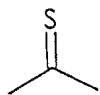
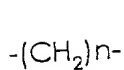
10 a)  $\text{CR}_{13}\text{R}_{14}$ , in which  $\text{R}_{13}$  means hydrogen and  $\text{R}_{14}$  means  $-(\text{CH}_2)_n\text{NR}_7\text{R}_7$ ,  $-\text{CO-NR}_7\text{R}_7$  or  $-\text{COOR}_7$ , in which  $n$  is 0 to 2 and  $\text{R}_7$  has the above-mentioned meanings, or  $\text{R}_7$  and  $\text{R}_7$  form a ring via  $-(\text{CH}_2)_n-$ , in which  $n$  is 3 to 5, whereby substituents  $\text{R}_{13}$  and  $\text{R}_{14}$  can be exchanged;

15 b) N-Phenyl (optionally substituted with fluorine, bromine, chlorine,  $(\text{C}_1\text{-C}_4)$  alkyl,  $\text{CO}_2$  alkyl, CN,  $\text{CONH}_2$ , or alkoxy) means N-thien-2 or 3-yl, or N-fur-2 or 3-yl or an N-1,3,5-triazinyl, whereby the triazine radical can then be substituted with Cl,  $\text{OR}_6$  or  $\text{NR}_7\text{R}_7$ , and  $\text{R}_6$  or  $\text{R}_7$  has the meaning indicated above;

c) One of the substituents that is presented below

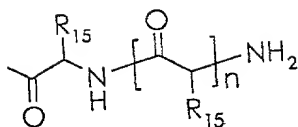


in which I means no bond or  $-(\text{CH}_2)_n-$ , whereby  $n = 0$  to  $3$ ,  
 20 carbonyl, thiocarbonyl, O, S,  $-\text{SO}-$  or  $\text{SO}_2$ ,  $\text{R}_6$  has the meanings  
 that are indicated above, and in which, Q is  $-(\text{CH}_2)_n-\text{M}^*-(\text{CH}_2)_m$ ,  
 whereby  $n = 0$  to  $4$  and  $m = 0$  to  $4$  and  $\text{M}^*$  means alkynyl, alkenyl,  
 disubstituted phenyl, disubstituted thiophene, disubstituted  
 furan, disubstituted pyrazine, disubstituted pyridazine, a spacer  
 25 of one of the formulas presented below, a peptide spacer L or a  
 heterocyclic spacer HS of the formulas below,

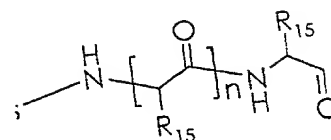


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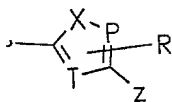
L = tetracycle



or tetracycle



HS = tetracycle



P = CH or N

T = CH or N

X =  $\text{NR}_6$ , O or S

Z = CH or N

15

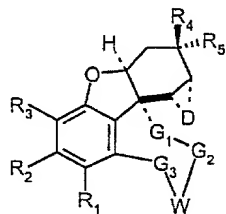
in which  $\text{R}_{15}$  means the side chain of D-, L-, D,L-aminoacids or  
unnatural amino acids, and for the case of  $n > 1$ ,  $\text{R}_{15}$  in the  
individual radicals in each case means the same or a different  
side chain of D-, L-, D,L-amino acids or unnatural amino acids,  
provided that atom N in addition to Q is connected in each case  
to groups G2 and G3 of formula I;

d) a tricyclic substituent (Tr) that is optionally  
substituted at least in one place with at least one heterocyclic  
ring as a ring component and a binding site to a carbon atom of

an anellated benzene ring thereof, which is connected via a spacer Q and the nitrogen atom that is adjacent to Q in each case with  $G_2$  and  $G_3$  of the compound of formula I, whereby Q has the meaning that is indicated above under c); or

e) -NH-, -O-, -S-, -SO- or -SO<sub>2</sub>-.

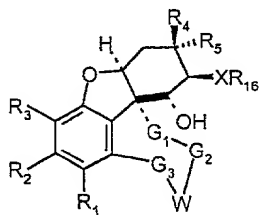
2. New compounds of general formula II



(II)

in which D means N-H, N-alkyl, N-acyl, oxygen or sulfur, and in which substituents  $R_1$  to  $R_5$ ,  $G_1$  to  $G_3$  and W have the meanings that are indicated in claim 1 in general formula I.

3. New compound of general formula III

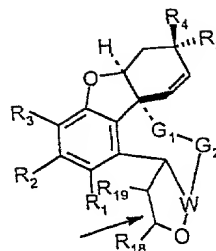


(III)

in which  $X-R_{16}$  is a substituent, in which X is oxygen or sulfur and  $R_{16}$  is hydrogen or a low ( $C_1$ - $C_{10}$ ), optionally branched, optionally substituted (Ar)alkyl group, and in which substituents

$R_1$  to  $R_5$ ,  $G_1$  to  $G_3$  and  $W$  have the meanings that are indicated in general formula I.

4. New compound of general formula IV



single or  
double bond

(IV)

in which  $R_{18}$  and  $R_{19}$  mean hydrogen, alkyl, aryl or aralkyl, and in which the C atoms that carry substituents  $R_{18}$  and  $R_{19}$  are linked to one another via a single bond or a double bond, and in which substituents  $R_1$  to  $R_5$  and  $G_1$  and  $G_3$  have the meanings that are indicated in general formula I, whereby  $W$  means CH or N.

5. Compound according to one of claims 1 to 4, in which substituent  $R_6$  means a triethylsilyl, trimethylsilyl, t-butyl dimethylsilyl or dimethylphenylsilyl.

6. Compound according to one of claims 1 to 4, in which substituent  $R_6$  means tetrahydropyranyl, tetrahydrofuranyl, methoxymethyl, ethoxymethyl, (2-methoxypropyl), ethoxyethyl, phenoxyethyl or (1-phenoxyethyl).

7. Compound according to one of claims 1 to 4, in which  $R_4$  is hydrogen, and  $R_5$  is OH, CN,  $\text{CO}_2$ -alkyl,  $\text{CONR}_a\text{R}_b$ , in which  $R_a$  is hydrogen, a low ( $\text{C}_1$ - $\text{C}_6$ ), optionally branched, cyclic, substituted alkyl group, and  $R_b$  is hydrogen, a low ( $\text{C}_1$ - $\text{C}_6$ ), optionally



branched or substituted alkyl group, or  $R_a + R_b$  together are -

$(CH_2)_n-$ , in which  $n$  means 2 to 6, or

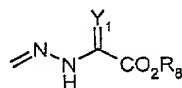
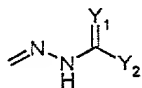
$-(CH_2)_n E (CH_2)_n-$ , in which  $E$  is the same as  $NH$ ,  $N$ -alkyl,  $O$ , or  $S$ , and  $n$  is 0 to 5, aryl (phenyl or naphthyl), or a 6- heterocycle.

8. Compound according to claim 7, in which the 6- heterocycle is imidazolyl, oxazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl, pyrimidinyl, pyrazinyl and substituted variants thereof, imidazolinyl, thiazolinyl or oxazolinyl.

9. Compound according to one of claims 1 to 8, in which  $R_5$  has a meaning other than hydrogen, and  $R_4$  is  $OH$ .

10. Compound according to one of claims 1 to 9, in which  $R_4$  and  $R_5$  together are carbonyl ( $=O$ ), hydrazone ( $=N-NH-R_9$ ,  $=N-NR_9R_{10}$ ) or oxime ( $=N-OR_{10}$ ), in which  $R_9$  is hydrogen, a low ( $C_1-C_6$ ), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl-, (Ar)alkylcarbonyloxy group or a sulfonic acid group, such as tosyl or mesyl, and  $R_{10}$  is hydrogen, a low ( $C_1-C_6$ ), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl group, a sulfonic acid group, such as a tosyl group or mesyl group.

11. Compound according to one of claims 1 to 4, in which  $R_4$  and  $R_5$  together are substituents of the type



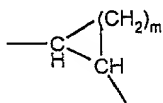
in which  $Y_1$ ,  $Y_2$  are the same or different and mean O, S, NH or N- $R_9$  (free valences are in any case hydrogen), in which  $R_9$  has the meanings that are mentioned in claim 10.

12. Compound according to claim 11, in which  $Y_1$  is NH and  $Y_2$  is N- $R_9$ , and in which  $R_4$  and  $R_5$  are connected by  $-(CH_2)_n-$  ( $n = 2, 3, \text{ or } 4$ ).

13. Compound according to one of claims 1 to 12, in which  $G_1$  and  $G_2$  together or separately mean:

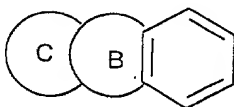
$-C(R_{11} R_{12})-$ , in which  $R_{11}$  and  $R_{12}$  mean hydrogen, OH, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkyloxy or aryloxy group or together an alkylspiro group ( $C_3$ - $C_7$  spiro ring).

14. Compound according to one of claims 1 to 13, in which  $G_1$  and  $G_2$  together mean

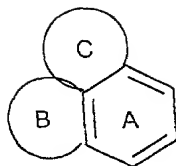


in which  $m$  is 1 to 7.

15. Compound according to one of claims 1 to 14, in which tricyclic substituent Tr is a condensed benzene ring of general formula

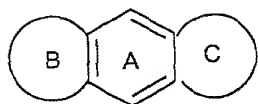


or



5

or



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16. Compound according to claim 15, in which ring A is a substituted benzene ring.

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17. Compound according to claim 15 or 16, in which one of rings B and C is an optionally substituted heterocyclic ring and the other is a substituted ring that can contain one or more heteroatoms in the ring.

20

18. Compound according to one of claims 15 to 17, in which the benzene ring is substituted in at least one place, whereby these substituents are halogens, such as fluorine and chlorine, halo- $C_1-C_3$  alkyl groups, such as trifluoromethyl,  $C_1-C_3$  alkyl groups, such as methyl,  $C_1-C_3$  alkoxy groups, such as methoxy, and the hydroxy group, especially a halogen, such as fluorine.

25

19. Compound according to one of claims 15 to 18, in which the optionally substituted heterocyclic ring B or C is a 4- to 14-membered ring, preferably a 5- to 7-membered ring, especially

a 5- to 7-membered, nonaromatic ring, which contains one or two identical or different heteroatoms.

20. Compound according to claim 19, in which at least one heteroatom of the heterocyclic ring (1 to 3 heteroatoms are possible) is nitrogen, oxygen, or sulfur.

21. Compound according to claim 20, in which heterocyclic ring B or C is pyridine, pyrazine, pyrimidine, imidazole, furan, thiophene, pyrrolidine, piperidine, hexamethylenimine, tetrahydrofuran, piperazine, morpholine or thiomorpholine.

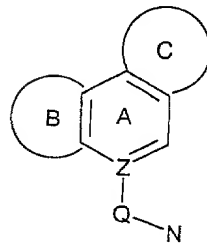
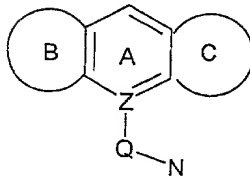
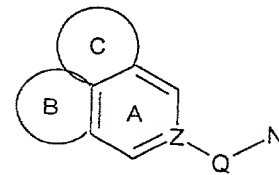
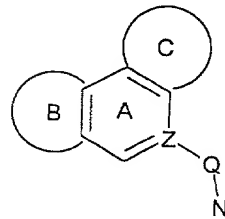
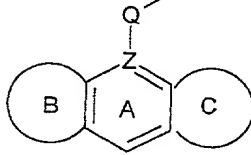
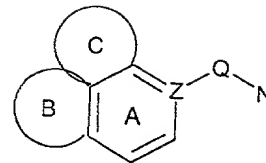
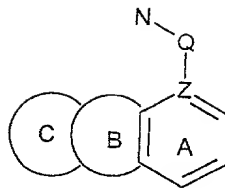
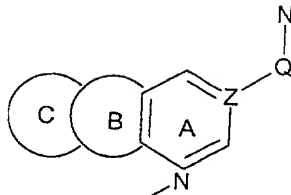
22. Compound according to one of claims 15 to 21, in which the 5- to 8-membered ring B or C is a 5- to 8-membered heterocyclic or alicyclic ring, or a carbon ring that is substituted at least in one place.

23. Compound according to claim 22, in which the 5- to 8-membered carbon ring is a benzene ring or a saturated or unsaturated ring, for example, benzene, cyclopentane, cyclopentene, cyclohexane, cyclohexene, cyclohexadiene, cycloheptane, cycloheptene and cycloheptadiene.

24. Compound according to one of claims 1 to 23, in which tricyclic substituent Tr is a group from one of the formulas that

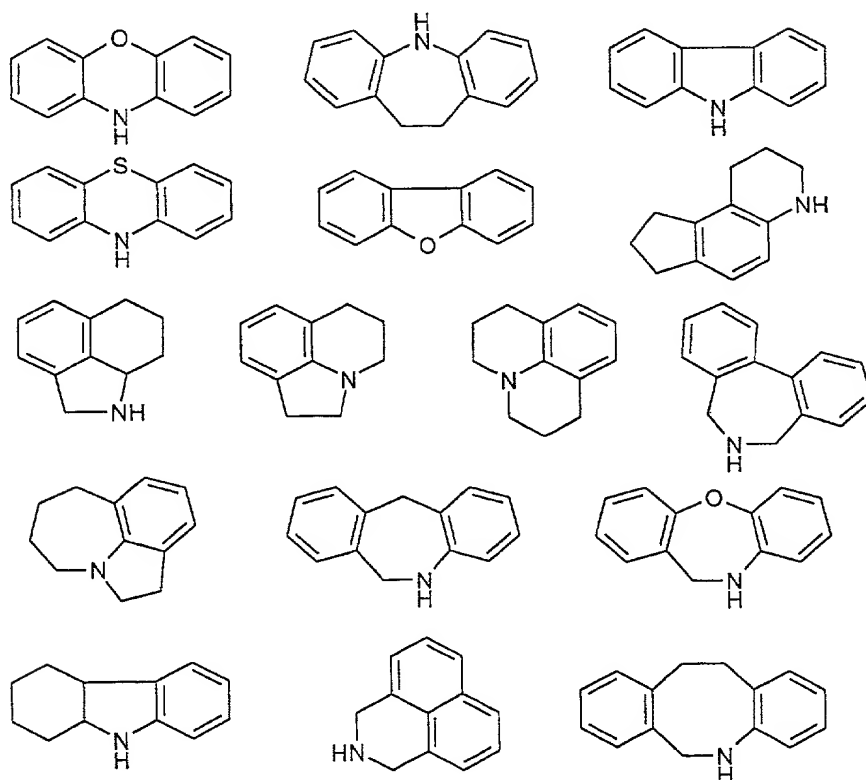
is presented below

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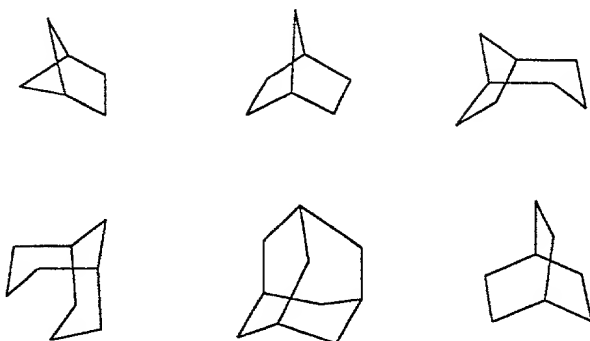
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25. Compound according to one of claims 1 to 23, in which tricyclic substituent Tr is a group from one of the formulas that is presented below



26. Compound according to one of claims 1 to 25, in which Tr is a cyclic or bicyclic hydrocarbon.

27. Compound according to claim 26, in which Tr has one of the formulas below:



28. Compound according to one of claims 1 to 27, in which substituent Tr is substituted at least in one place with  $R_1$ , and  $R_1$  has the meanings indicated in claim 1.

29. Compound according to one of claims 1 to 28, in which substituent W is nitrogen and/or substituent  $G_1$  is  $-(CH_2)_x-$ , in which x is equal to 1 or 2 and  $G_2$  means  $-(CH_2)_y-$ , in which y is equal to 0 to 2, provided that x + y together mean at least 2 and at most 4.

30. Compound according to one of claims 1 to 29, in which substituents  $G_1$  and  $G_2$  together or separately have the meaning of  $-CR_{11}R_{12}-$ , in which  $R_{11}$  and  $R_{12}$  mean hydrogen, hydroxy, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkoxy or aryloxy group.

31. Compound according to one of claims 1 to 30, in which  $G_1$  and  $G_2$  together are an alkylspiro group ( $C_3$ - $C_7$  spiro ring).

32. Process for the production of the compounds of claims 1 to 31, characterized in that the combinatory or parallel-synthesis technology is used, whereby the basic molecule is immobilized by a functional group (linker) in a solid phase, which implements the synthesis of the target compound and then this target compound is separated from the solid phase.

33. Process according to claim 32, wherein the basic molecule is immobilized in the solid phase via a carbon center, a nitrogen center or an oxygen center.

34. Process according to claim 32 or 33, wherein  $-X(CH_2)_nCO$  ( $X = CH_2, CO, O, S, NH$ ),  $-X(CH_2)_nOCO$  ( $X = CH_2, CO, O, S, NH$ ),  $-XC_6H_4CH_2-$  ( $= CH_2, CO, O, S, NH$ ), THP, or  $-X(CH_2)_nSi(alkyl)_2$  is used as a functional group (linker).

35. Process according to claim 32 or 33, wherein  $-X(CH_2)_nCO$  ( $X = CH_2, O, NH, SO_{0-2}$ ),  $-X(CH_2)_nCS$  ( $X = CH_2, O, NH, SO_{0-2}$ ),  $X(CH_2)_nJCO$  ( $X = CH_2, O, NH, SO_{0-2}$ ;  $J = NH, O, S$ ), or  $XC_6H_4CH_2$  ( $X = CH_2, O, S$ ) is used as a functional group (linker).

36. Process according to claim 32 or 33, wherein  $-(CH_2)_nSi(alkyl)_2-$ ,  $-C_6H_4Si(alkyl)_2-$ ,  $-(CH_2)_nSn(alkyl)_2-$ ,  $-C_6H_4Sn(alkyl)_2$ ,  $-(CH_2)_nS$ , or  $-C_6H_4S$  is used as a functional group (linker).

37. Pharmaceutical agent that contains at least one of the compounds of general formulas I, II, III or IV, or a pharmaceutically acceptable salt thereof as an active ingredient.

38. Use of at least one of the compounds of general formulas I, II, III or IV, or a pharmaceutically acceptable salt thereof for the production of pharmaceutical agents.



39. Process for the production of pharmaceutical agents, in which at least one of the compounds of general formulas I, II, III or IV is mixed with a pharmaceutically acceptable vehicle and/or formulation adjuvant.